

Figure 1

3

5

1,
$$R_1 = R_2 = H$$

2, $R_1 = R_2 = COCH_3$

ДH

9a; R = CH₃ 9b; R = CH₂C₃H₅

6

ДH

7

CH₃ 13



Figure 1 (continued)

OH HO Figure 2 HO. "CH₃ ∿CH₃ **νCH**₃ ≖CH₃ a, b, c ►CH₃ ►CH₃ 22 **23** 24 NH₂ Boc O f, b e, b b g HO. **OH HO OH** "CH₃ √CH₃ ∿CH₃ "CH₃ ≖CH₃ ►CH₃ -CH₃ **∽**CH₃ HO HC NH NH NH NH 15 16 14 **20** Ŏ H ĊH₃ O h HO. HO. HO. "CH₃ νCH₃ "CH₃ •CH₃ ►CH₃ **CH**₃ HO HO NH NH **19 17** 18 CH₃ O $(H_3C)_2N$

Reagents: (a) Boc-L-valine, BOP, TEA, THF; (b) TFA, CH₂Cl₂; (c) borane/dimethyl sulfide; (d) Boc-D-7-hydroxy-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid, BOP, TEA, THF; (e) Boc-D-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid, BOP, TEA, THF; (f) Boc-L-7-hydroxy-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid, BOP, TEA, THF; (g) Lithium aluminum hydride, THF; (h) formalin, NaBH(OAc)₃, dichloroethane; (i) N,N-dimethylglycine, BOP, TEA, THF



Figure 3

Reagents: (a) Boc-L-valine, BOP, TEA, THF; (b) TFA, CH₂Cl₂; (c) borane/dimethyl sulfide; (d) Boc-D-7-hydroxy-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid, BOP, TEA, THF

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